

# Niacin CRT™

Featuring controlled release technology



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**Niacin CRT™** offers beneficial doses of niacin (as nicotinic acid) in a unique wax-matrix tablet that utilizes the latest controlled-release technology (CRT) for optimal bioavailability. It is available in 500 mg NE and 750 mg NE tablets formulated to deliver niacin in a continuous designed release pattern over a six to eight hour period, the transit time through the bowels.

Niacin, also known as vitamin B3 or nicotinic acid (NA), is an essential cofactor in several metabolic pathways in the body due to its function as coenzymes NAD (nicotine-adenine dinucleotide) and NADP (nicotine-adenine dinucleotide phosphate) in hundreds of metabolic reactions including oxidation-reduction reactions, mitochondrial aerobic respiration, and cellular energy production.<sup>1</sup> Similarly, niacin, in the form of NAD, is an essential cofactor for the enzymes in the folate/tetrahydrobiopterin and methionine cycles.<sup>1</sup> Niacin receptors are distributed and expressed in immune cells, adipose tissue, and the brain, supporting niacin's roles in modulating lipolysis in adipocytes and regulating the inflammatory cascade.<sup>1</sup> There are two G-protein-couple membrane receptors that bind NA;<sup>2</sup> the high-affinity niacin receptor 1 (NIACR1) is responsible for high-dosage skin flushing,<sup>1</sup> and GRP109A and TRPV1 receptors are responsible for the anti-atherosclerotic effects of NA.<sup>3</sup> Studies demonstrate doses >1 g/day exert anti-inflammatory effects via niacin receptor activity, enhance insulin sensitivity, reduce the size of adipocytes, exert anti-atherogenic effects on lipid markers, and increase niacin receptor expression in fat cells.<sup>1</sup> In healthy subjects, 2 g extended-release niacin dosed just before a high-fat meal acutely suppressed postprandial triglyceridemia by 33% compared to placebo,<sup>4</sup> and similarly, markedly decreased postprandial production of lipoprotein(a) and apolipoproteinB-100 concentrations in statin-treated type 2 diabetics due to niacin's inhibitory activity on the rate-limiting enzyme that catalyzes the final reaction in triglyceride synthesis, and via upregulation of fatty acid oxidation in the liver.<sup>5</sup>

Niacin's lipid-lowering capabilities were discovered in the 1950s in experimental studies when researchers reported nicotinic acid's ability to lower serum cholesterol and inhibit lipid deposition in both healthy and hypercholesterolemic animals when administered in gram doses.<sup>6,7</sup> A meta-analysis showed that high dose niacin supplementation (between 1-3 g per day) administered with or without cholesterol-lowering medication reduced cardiovascular disease (CVD) and coronary heart disease incidences associated with its beneficial effects on inflammatory biomarkers via modulation of NIACR1 receptors.<sup>1,8</sup> A systematic review of the literature found that niacin treatment significantly increased serum HDL-cholesterol levels by 21.4% from baseline measures, and was associated with trends towards reduced risk of CVD mortality, heart attack, coronary death, and stroke; however, the results showed no differences in all-cause mortality rates compared to controls.<sup>9</sup> In a pilot study of hypoalphalipoproteinemia patients with serum HDL-C levels ≤ 40 mg/dL, extended-release NA treatment significantly increased total HDL cholesterol and phospholipids, HDL2 levels, and HDL particle size, as well as HDL cholesterol efflux and uptake capacity.<sup>10</sup>

## Controlled Release Technology (CRT)

Traditional niacin therapy using immediate-release (IR) niacin can foster a high incidence of distressing side effects, especially cutaneous flushing, itching, and gastrointestinal upset.<sup>11,12</sup> The extended release of niacin in a non-linear, specified release pattern helps to eliminate the spikes and surges found in older generation linear or sustained-release technologies, yielding a very low rate of flushing. The result is a vast reduction in gastrointestinal upset and gut irritation associated with the buildup of localized concentrations of niacin and cutaneous symptoms associated with a rapid rise in blood levels.\*

## Niacin CRT™ 500mg NE

### Supplement Facts

Serving Size 1 tablet

Amount Per Serving	% Daily Value	
Niacin (as Nicotinic Acid)	500 mg NE	3125%

**Other Ingredients:** Vegetable waxes (rice bran, carnauba), stearates (vegetable source), silica.

## Niacin CRT™ 750mg NE

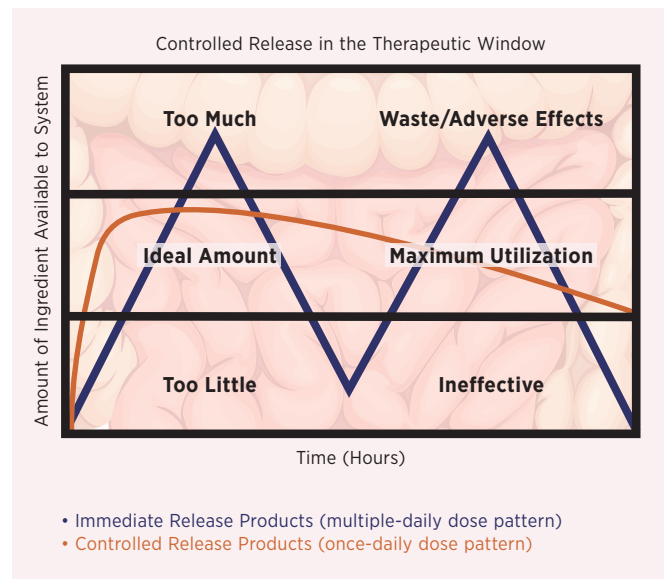
### Supplement Facts

Serving Size 1 tablet

Amount Per Serving	% Daily Value	
Niacin (as Nicotinic Acid)	750 mg NE	4688%

**Other Ingredients:** Vegetable waxes (rice bran, carnauba), stearates (vegetable source), silica.

When an immediate release product is taken, a highly soluble ingredient may have a burst or spike effect in the bloodstream, which is what can lead to the unwanted side effects mentioned earlier. As the dosing period lapses, too little of the ingredient may remain, falling below the minimum level deemed necessary to maintain therapeutic value. An effective controlled delivery product is designed to release the ideal amount of the ingredient to maintain a certain amount in the body over an extended period of time (as shown in the illustration).



A six week double-blind RCT comparing 1500 mg/d wax-matrix, extended-release (WMER) niacin or inositol hexanicotinate (IHN) found that the WMER niacin treatment significantly reduced total cholesterol (-11%), LDL-C (-18%) and non-high-density lipoprotein (-15%), and increased HDL-C (+12%) compared to IHN which was well-tolerated, but showed no lipid improvements in patients with mild to moderate dyslipidemia.<sup>13</sup> In obese, nondiabetic, hypertriglyceridemic subjects, administration of extended-release niacin that was progressively titrated up from 0.5 g/wk to 2 g/d for 8 weeks normalized lipid and apolipoprotein profiles, attenuated pro-inflammatory markers such as high-sensitive C-reactive protein, hepatic function, and cellular adhesion and proliferation.<sup>14</sup>

#### Additional Safety Highlights:

- Taking Niacin CRT™ at dinner time may help reduce the incidence and severity of flushing which may occur with high-dose niacin supplementation earlier in the day.
- Avoid taking with alcohol, hot beverages, or juice as this may accelerate the dissolution of the tablet, leading to higher initial levels of niacin into the bloodstream.
- Patients with a history of coronary insufficiency and those on vasodilating drugs should only use niacin under the guidance of a qualified health care provider given the potential for a hypotensive event.
- There are no known toxic metabolites of niacin, however, continued “amidation” associated with metabolizing nicotinates may result in liver stress. Therefore, less frequent dosing (and allowing 2-3 hours before dosing) may be recommended in order to clear the system (and therefore the liver) of the metabolizing nicotinates after seven to eight hours in order to reduce any potential increase in liver enzyme production.
- In addition, due to its fibrinolytic effect, niacin should be used with caution in conjunction with fibrinolytic and blood-thinning drugs.
- Taking niacin alone may raise homocysteine levels in some individuals.<sup>14</sup>
- It is recommended that any niacin formula be given with adequate amounts of B6, B12, and natural folates, and methionine, accomplished with the co-use of formulas such as B-Supreme, Twice Daily Multi™, Homocysteine Supreme™, or SAME, to increase the efficiency of the liver during amidation.
- Others requiring increased caution include pregnant or nursing women, as well as patients with a known history of gallbladder disease, gout or acid-peptic disease.

**Recommended Use:** Take one tablet per day with a meal, or as directed by your health care practitioner.

For a list of references cited in this document, please visit:

<https://www.designsforhealth.com/techsheet-references/niacin-crt-references.pdf>

Dosing recommendations are given for typical use based on an average 150 pound healthy adult. Health care practitioners are encouraged to use clinical judgement with case-specific dosing based on intended goals, subject body weight, medical history, and concomitant medication and supplement usage.

\*These statements have not been evaluated by the Food and Drug Administration. This product is not intended to diagnose, treat, cure or prevent any disease.

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